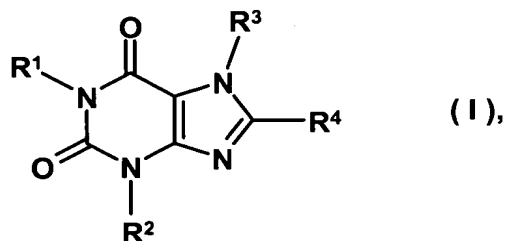


5 **What is Claim d is:**

1. A compound of general formula



10

wherein

R¹ represents an A-B-D group wherein

15 A denotes a C₁₋₆-alkyl group substituted by a phenyl group, where the C₁₋₆-alkyl group may be substituted by one to twelve fluorine atoms and the phenyl ring may be substituted by the groups R¹⁰ to R¹⁴ and

R¹⁰ denotes a fluorine, chlorine, bromine or iodine atom,

20

a C₁₋₄-alkyl, hydroxy, or C₁₋₄-alkyloxy group,

a nitro, amino, C₁₋₃-alkylamino, di-(C₁₋₃-alkyl)amino, cyano-C₁₋₃-alkylamino, [N-(cyano-C₁₋₃-alkyl)-N-C₁₋₃-alkyl-amino], C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkylamino, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, 4-(C₁₋₃-alkyl)-piperazin-1-yl, C₁₋₃-alkyl-carbonylamino, arylcarbonylamino, aryl-C₁₋₃-alkyl-carbonylamino, C₁₋₃-alkyloxy-carbonylamino, aminocarbonylamino, C₁₋₃-alkyl-aminocarbonylamino, di-(C₁₋₃-alkyl)aminocarbonylamino, C₁₋₃-alkyl-sulphonylamino, bis-(C₁₋₃-alkylsulphonyl)-amino, aminosulphonylamino, C₁₋₃-alkylamino-sulphonylamino, di-(C₁₋₃-alkyl)amino-sulphonylamino, morpholin-4-yl-

30

- 5 sulphonylamino, (C₁₋₃-alkylamino)thiocarbonylamino, (C₁₋₃-alkyloxy-carbonylamino)carbonylamino, arylsulphonylamino or aryl-C₁₋₃-alkyl-sulphonylamino group,
- 10 an N-(C₁₋₃-alkyl)-C₁₋₃-alkyl-carbonylamino, N-(C₁₋₃-alkyl)-arylcarbonylamino, N-(C₁₋₃-alkyl)-aryl-C₁₋₃-alkyl-carbonylamino, N-(C₁₋₃-alkyl)-C₁₋₃-alkyloxy-carbonylamino, N-(aminocarbonyl)-C₁₋₃-alkylamino, N-(C₁₋₃-alkyl-aminocarbonyl)-C₁₋₃-alkylamino, N-[di-(C₁₋₃-alkyl)aminocarbonyl]-C₁₋₃-alkylamino, N-(C₁₋₃-alkyl)-C₁₋₃-alkyl-sulphonylamino, N-(C₁₋₃-alkyl)-arylsulphonylamino, or N-(C₁₋₃-alkyl)-aryl-C₁₋₃-alkyl-sulphonylamino group,
- 15 a 2-oxo-imidazolidin-1-yl, 2,4-dioxo-imidazolidin-1-yl or 2,5-dioxo-imidazolidin-1-yl group wherein the nitrogen atom in the 3 position may in each case be substituted by a methyl or ethyl group,
- 20 a cyano, carboxy, C₁₋₄-alkyloxy-carbonyl, aminocarbonyl, C₁₋₃-alkyl-amino-carbonyl, di-(C₁₋₃-alkyl)-aminocarbonyl, pyrrolidin-1-yl-carbonyl, piperidin-1-yl-carbonyl, morpholin-4-yl-carbonyl, piperazin-1-yl-carbonyl or 4-(C₁₋₃-alkyl)-piperazin-1-yl-carbonyl group,
- 25 a C₁₋₃-alkyl-carbonyl or an arylcarbonyl group,
- 30 a carboxy-C₁₋₃-alkyl, C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkyl, cyano-C₁₋₃-alkyl, aminocarbonyl-C₁₋₃-alkyl, C₁₋₃-alkyl-aminocarbonyl-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-aminocarbonyl-C₁₋₃-alkyl, pyrrolidin-1-yl-carbonyl-C₁₋₃-alkyl, piperidin-1-yl-carbonyl-C₁₋₃-alkyl, morpholin-4-yl-carbonyl-C₁₋₃-alkyl, piperazin-1-yl-carbonyl-C₁₋₃-alkyl or 4-(C₁₋₃-alkyl)-piperazin-1-yl-carbonyl-C₁₋₃-alkyl group,
- 35 a carboxy-C₁₋₃-alkyloxy, C₁₋₃-alkyloxy-carbonyl-C₁₋₃-alkyloxy, cyano-C₁₋₃-alkyloxy, aminocarbonyl-C₁₋₃-alkyloxy, C₁₋₃-alkyl-aminocarbonyl-C₁₋₃-alkyloxy, di-(C₁₋₃-alkyl)-aminocarbonyl-C₁₋₃-alkyloxy, pyrrolidin-1-yl-carbonyl-C₁₋₃-alkyl-

- 5 oxy, piperidin-1-yl-carbonyl-C₁₋₃-alkyloxy, morpholin-4-yl-carbonyl-C₁₋₃-alkyl-oxy, piperazin-1-yl-carbonyl-C₁₋₃-alkyloxy or 4-(C₁₋₃-alkyl)-piperazin-1-yl-carbonyl-C₁₋₃-alkyloxy group,
- 10 a hydroxy-C₁₋₃-alkyl, C₁₋₃-alkyloxy-C₁₋₃-alkyl, amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl, pyrrolidin-1-yl-C₁₋₃-alkyl, piperidin-1-yl-C₁₋₃-alkyl, morpholin-4-yl-C₁₋₃-alkyl, piperazin-1-yl-C₁₋₃-alkyl, 4-(C₁₋₃-alkyl)-piperazin-1-yl-C₁₋₃-alkyl group,
- 15 a hydroxy-C₁₋₃-alkyloxy, C₁₋₃-alkyloxy-C₁₋₃-alkyloxy, C₁₋₃-alkylsulphanyl-C₁₋₃-alkyloxy, C₁₋₃-alkylsulphinyl-C₁₋₃-alkyloxy, C₁₋₃-alkylsulphonyl-C₁₋₃-alkyloxy, amino-C₁₋₃-alkyloxy, C₁₋₃-alkylamino-C₁₋₃-alkyloxy, di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyloxy, pyrrolidin-1-yl-C₁₋₃-alkyloxy, piperidin-1-yl-C₁₋₃-alkyloxy, morpholin-4-yl-C₁₋₃-alkyloxy, piperazin-1-yl-C₁₋₃-alkyloxy, 4-(C₁₋₃-alkyl)-piperazin-1-yl-C₁₋₃-alkyloxy group,
- 20 a mercapto, C₁₋₃-alkylsulphanyl, C₁₋₃-alkylsulphinyl, arylsulphinyl, C₁₋₃-alkylsulphonyl, arylsulphonyl, C₁₋₃-alkylsulphonyloxy, arylsulphonyloxy, trifluoromethylsulphanyl, trifluoromethylsulphinyl or trifluoromethylsulphonyl group,
- 25 a sulpho, aminosulphonyl, C₁₋₃-alkyl-aminosulphonyl, di-(C₁₋₃-alkyl)-aminosulphonyl, pyrrolidin-1-yl-sulphonyl, piperidin-1-yl-sulphonyl, morpholin-4-yl-sulphonyl, piperazin-1-yl-sulphonyl or 4-(C₁₋₃-alkyl)-piperazin-1-yl-sulphonyl group,
- 30 a methyl or methoxy group substituted by 1 to 3 fluorine atoms,
- an ethyl or ethoxy group substituted by 1 to 5 fluorine atoms,
- 35 a C₂₋₄-alkenyl or C₂₋₄-alkynyl group,

5

a C₃₋₄-alkenyloxy or C₃₋₄-alkynyloxy group,

a C₃₋₆-cycloalkyl or C₃₋₆-cycloalkyloxy group,

10

a C₃₋₆-cycloalkyl-C₁₋₃-alkyl or C₃₋₆-cycloalkyl-C₁₋₃-alkyloxy group or

an aryl, aryloxy, aryl-C₁₋₃-alkyl or aryl-C₁₋₃-alkyloxy group,

15

R¹¹ and R¹², which may be identical or different, in each case denote a fluorine, chlorine, bromine or iodine atom, a C₁₋₃-alkyl, trifluoromethyl, hydroxy or C₁₋₃-alkyloxy group or a cyano group, or

20

R¹¹ together with R¹², if they are bound to adjacent carbon atoms, also denote a methylenedioxy, difluoromethylenedioxy, straight-chain C₃₋₅-alkylene or –CH=CH-CH=CH- group, while the –CH=CH-CH=CH- group may be substituted by a fluorine, chlorine or bromine atom, by a methyl, trifluoromethyl, cyano, aminocarbonyl, aminosulphonyl, methylsulphonyl, methylsulphonylamino, methoxy, difluoromethoxy or trifluoromethoxy group, and

25

R¹³ and R¹⁴, which may be identical or different, in each case represent a fluorine, chlorine or bromine atom, a trifluoromethyl, C₁₋₃-alkyl or C₁₋₃-alkyloxy group,

30

a phenyl group which may be substituted by the groups R¹⁰ to R¹⁴, where R¹⁰ to R¹⁴ are as hereinbefore defined in this claim,

a phenyl-C₂₋₃-alkenyl group wherein the phenyl moiety may be substituted by the groups R¹⁰ to R¹⁴, where R¹⁰ to R¹⁴ are as hereinbefore defined in this claim, and

5 the alkenyl group may be substituted by one to four fluorine atoms or methyl groups, while the substituents may be identical or different,

a phenyl-C₂₋₃-alkynyl group wherein the phenyl moiety may be substituted by the groups R¹⁰ to R¹⁴, where R¹⁰ to R¹⁴ are as hereinbefore defined in this claim,

10

a heteroaryl-C₁₋₆-alkyl group, while the C₁₋₆-alkyl group may be substituted by one to twelve fluorine atoms,

a heteroaryl group,

15

a heteroaryl-C₂₋₃-alkenyl group, while the alkenyl group may be substituted by one to four fluorine atoms or methyl groups, while the substituents may be identical or different, or

20 a heteroaryl-C₂₋₃-alkynyl group and

B denotes an E-G group wherein E is linked to the group A and

E denotes an oxygen or sulphur atom,

25

an -NR_a- group wherein R_a denotes a hydrogen atom, a C₁₋₆-alkyl, C₃₋₆-alkenyl, C₃₋₆-alkynyl, C₃₋₇-cycloalkyl, phenyl, phenylmethyl, heteroaryl, heteroarylmethyl, amino, C₁₋₆-alkylamino, di-(C₁₋₆-alkyl)amino, hydroxy, C₁₋₆-alkyloxy group, while the above-mentioned phenyl rings may each be substituted by the groups R¹⁰ to R¹¹, while R¹⁰ to R¹¹ are as hereinbefore defined in this claim,

30

an -NR_a-NR_a- group wherein R_a is as hereinbefore defined in this claim and the two groups R_a may be identical or different,

35

5 an -NH-NH- group wherein the two hydrogen atoms are replaced by a straight-chain C₃₋₅-alkylene bridge,

an -O-NR_a- group wherein R_a is as hereinbefore defined in this claim and the oxygen atom is linked to the group A and the nitrogen atom is linked to
10 the group G,

a -O-CR_bR_c- group wherein the oxygen atom is linked to the group A and the carbon atom is linked to the group G and wherein R_b and R_c, which may be identical or different, denote a hydrogen or fluorine atom, a C₁₋₆-alkyl, C₃₋₇-cycloalkyl, phenyl, phenylmethyl, while the phenyl rings may each be
15 substituted by the groups R¹⁰ to R¹⁴, while R¹⁰ to R¹⁴ are as hereinbefore defined in this claim, or a heteroaryl or heteroarylmethyl group or R_b and R_c together denote a straight-chain C₂₋₆-alkylene group,

20 a -S-CR_bR_c- group wherein the sulphur atom is linked to the group A and the carbon atom is linked to the group G and R_b and R_c, which may be identical or different, are as hereinbefore defined in this claim,

a -SO-CR_bR_c- group wherein the sulphur atom is linked to the group A and the carbon atom is linked to the group G and R_b and R_c, which may be
25 identical or different, are as hereinbefore defined in this claim,

a -SO₂-CR_bR_c- group wherein the sulphur atom is linked to the group A and the carbon atom is linked to the group G and R_b and R_c, which may be
30 identical or different, are as hereinbefore defined in this claim,

or a -NR_a-CR_bR_c- group wherein the nitrogen atom is linked to the group A and the carbon atom is linked to the group G and R_a, R_b and R_c, which may be identical or different, are as hereinbefore defined in this claim,

35

5 and G denotes a carbonyl or thiocarbonyl group,

a methylene group substituted by an imino group wherein the nitrogen atom may be substituted by a C₁₋₆-alkyl, C₃₋₆-alkenyl, C₃₋₆-alkynyl, C₃₋₇-cycloalkyl, phenyl, phenylmethyl, heteroaryl, heteroarylmethyl, amino, C₁₋₆-alkylamino, di-(C₁₋₆-alkyl)amino, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, C₁₋₆-alkyl-carbonylamino, phenylcarbonylamino, C₁₋₆-alkyloxy-carbonylamino, C₁₋₆-alkylsulphonylamino, phenylsulphonylamino, hydroxyl, C₁₋₆-alkyloxy, cyano or nitro group, while the above-mentioned phenyl groups may be substituted by the groups R¹⁰ to R¹⁴, where R¹⁰ to R¹⁴ are as hereinbefore defined in this claim,

a 1,1-ethenylene group wherein the carbon atom in the exo position may be substituted by one or two chlorine or fluorine atoms or one or two C₁₋₆-alkyl, C₁₋₆-perfluoroalkyl, C₃₋₆-alkenyl, C₃₋₆-alkynyl, C₃₋₇-cycloalkyl, phenyl, phenylmethyl, heteroaryl, heteroarylmethyl, C₁₋₆-alkyl-carbonyl, C₃₋₇-cycloalkyl-carbonyl, phenylcarbonyl, heteroarylcarbonyl, carboxy, C₁₋₆-alkyloxy-carbonyl, aminocarbonyl, C₁₋₆-alkylaminocarbonyl, di-(C₁₋₆-alkyl)aminocarbonyl, pyrrolidin-1-ylcarbonyl, piperidin-1-ylcarbonyl, morpholin-4-ylcarbonyl, phenylaminocarbonyl, heteroarylaminocarbonyl, C₁₋₆-alkylsulphinyl, C₃₋₇-cycloalkylsulphinyl, phenylsulphinyl, heteroarylsulphinyl, C₁₋₆-alkylsulphonyl, C₃₋₇-cycloalkylsulphonyl, phenylsulphonyl, heteroarylsulphonyl, cyano or nitro groups, while the substituents may be identical or different and the above-mentioned phenyl groups may be substituted by the groups R¹⁰ to R¹⁴, while R¹⁰ to R¹⁴ are as hereinbefore defined in this claim,

or represent a sulphinyl or sulphonyl group,

or A together with B denotes a 1,2,3,4-tetrahydroquinolinylcarbonyl, 1,2,3,4-tetrahydroisoquinolinylcarbonyl, 2,3-dihydroindolylcarbonyl or 2,3-

5 dihydroisoindolylcarbonyl group wherein the benzo groups may in each case be substituted by the groups R^{10} to R^{13} , while R^{10} to R^{13} are as hereinbefore defined in this claim and one or two carbon atoms of the benzo group may be replaced by nitrogen atoms and the alkylene moieties of the above-mentioned groups may in each case be substituted by one or two fluorine atoms, one or two methyl
10 groups or an oxo group, while the substituents may be identical or different,

and D denotes a C_{1-6} -alkylene group which may be substituted by one to twelve fluorine atoms,

15 a C_{2-3} -alkenylene group which may be substituted by one to four fluorine atoms or methyl groups,

or a propynylene group,

20 R^2 denotes a hydrogen atom,

a C_{1-6} -alkyl group,

a C_{2-4} -alkenyl group,

25

a C_{3-4} -alkynyl group,

a C_{3-6} -cycloalkyl group,

30 a C_{3-6} -cycloalkyl- C_{1-3} -alkyl group,

a tetrahydrofuran-3-yl, tetrahydropyran-3-yl, tetrahydropyran-4-yl,
tetrahydrofuranylmethyl or tetrahydropyranylmethyl group,

35 an aryl group,

5

an aryl-C₁₋₄-alkyl group,

an aryl-C₂₋₃-alkenyl group,

10 an arylcarbonyl-C₁₋₂-alkyl group,

a heteroaryl-C₁₋₃-alkyl group,

15 a furan-2-ylcarbonylmethyl, thien-2-ylcarbonylmethyl, thiazol-2-ylcarbonylmethyl or pyrid-2-ylcarbonylmethyl group,

a C₁₋₄-alkyl-carbonyl-C₁₋₂-alkyl group,

a C₃₋₆-cycloalkyl-carbonyl-C₁₋₂-alkyl group,

20

an aryl-G-C₁₋₃-alkyl group, while G denotes an oxygen or sulphur atom, an imino, C₁₋₃-alkylimino, sulphinyl or sulphonyl group,

a C₁₋₄-alkyl group substituted by a group R_d, wherein

25

R_d denotes a cyano, carboxy, C₁₋₃-alkyloxy-carbonyl, aminocarbonyl, C₁₋₃-alkylamino-carbonyl, di-(C₁₋₃-alkyl)-amino-carbonyl, pyrrolidin-1-ylcarbonyl, piperidin-1-ylcarbonyl, morpholin-4-ylcarbonyl, piperazin-1-ylcarbonyl, 4-methylpiperazin-1-ylcarbonyl or 4-ethylpiperazin-1-ylcarbonyl group,

30

or a C₂₋₄-alkyl group substituted by a group R_e, where

R_e denotes a hydroxy, C₁₋₃-alkyloxy, amino, C₁₋₃-alkylamino, di-(C₁₋₃-alkyl)-amino, pyrrolidin-1-yl, piperidin-1-yl, morpholin-4-yl, piperazin-1-yl, 4-methylpiperazin-1-yl or 4-ethylpiperazin-1-yl group and is isolated from the

35

- 5 cyclic nitrogen atom in the 3 position of the xanthine structure by at least two carbon atoms,

R^3 denotes a C_{3-8} -alkyl group,

- 10 a C_{1-3} -alkyl group substituted by a group R_f , where

R_f denotes a C_{3-7} -cycloalkyl group optionally substituted by one or two C_{1-3} -alkyl groups or

- 15 a C_{5-7} -cycloalkenyl group optionally substituted by one or two C_{1-3} -alkyl groups,

a C_{3-8} -alkenyl group,

- 20 a C_{3-6} -alkenyl group substituted by a fluorine, chlorine or bromine atom or a trifluoromethyl group,

a C_{3-8} -alkynyl group,

- 25 an aryl group or

an aryl- C_{2-4} -alkenyl group,

and

30

R^4 denotes an azetidin-1-yl or pyrrolidin-1-yl group which is substituted in the 3 position by an amino, C_{1-3} -alkylamino or a di- $(C_{1-3}$ -alkyl)amino group and may additionally be substituted by one or two C_{1-3} -alkyl groups,

5 a piperidin-1-yl or hexahydroazepin-1-yl group which is substituted in the 3 position or in the 4 position by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)amino group and may additionally be substituted by one or two C₁₋₃-alkyl groups,

10 a 3-amino-piperidin-1-yl group wherein the piperidin-1-yl-moiety is additionally substituted by an aminocarbonyl, C₁₋₂-alkyl-aminocarbonyl, di-(C₁₋₂-alkyl)aminocarbonyl, pyrrolidin-1-yl-carbonyl, (2-cyano-pyrrolidin-1-yl)carbonyl, thiazolidin-3-yl-carbonyl, (4-cyano-thiazolidin-3-yl)carbonyl, piperidin-1-ylcarbonyl or morpholin-4-ylcarbonyl group,

15 a 3-amino-piperidin-1-yl group wherein the piperidin-1-yl-moiety in the 4 position or in the 5 position is additionally substituted by a hydroxy or methoxy group,

a 3-amino-piperidin-1-yl group wherein the methylene group in the 2 position or in the 6 position is replaced by a carbonyl group,

20

a piperidin-1-yl or hexahydroazepin-1-yl group substituted in the 3 position by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group, wherein in each case two hydrogen atoms on the carbon skeleton of the piperidin-1-yl or hexahydroazepin-1-yl- group are replaced by a straight-chain alkylene bridge, this bridge containing

25 2 to 5 carbon atoms if the two hydrogen atoms are located on the same carbon atom, or 1 to 4 carbon atoms if the hydrogen atoms are located on adjacent carbon atoms, or 1 to 4 carbon atoms if the hydrogen atoms are located on carbon atoms separated by one atom, or 1 to 3 carbon atoms if the two hydrogen atoms are located on carbon atoms separated by two atoms,

30

an azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl or hexahydroazepin-1-yl group which is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)-amino-C₁₋₃-alkyl group,

5 a piperazin-1-yl or [1,4]diazepan-1-yl group optionally substituted on the carbon skeleton by one or two C₁₋₃-alkyl groups, while in those compounds wherein

the group E denotes an oxygen atom and the group G denotes a carbonyl group,

10 the group E denotes an oxygen atom and the group G denotes a sulphonyl group,

the group E denotes an -NR_a- group and the group G denotes a carbonyl group wherein R_a is as hereinbefore defined in this claim,

15 the group E denotes an -NR_a- group wherein R_a is as hereinbefore defined in this claim,

and the group G denotes a sulphonyl group or the group A denotes a phenyl or heteroaryl group optionally substituted by one of the above-mentioned groups and the group E denotes an oxygen atom and the group G denotes an ethenylene group,

20

R⁴ cannot represent a piperazin-1-yl or [1,4]diazepan-1-yl group optionally substituted on the carbon skeleton by one or two C₁₋₃-alkyl groups,

25 a 3-imino-piperazin-1-yl, 3-imino-[1,4]diazepan-1-yl or 5-imino-[1,4]diazepan-1-yl group optionally substituted on the carbon skeleton by one or two C₁₋₃-alkyl groups,

a [1,4]diazepan-1-yl group optionally substituted by one or two C₁₋₃-alkyl groups which is substituted in the 6 position by an amino group,

30

a C₃₋₇-cycloalkyl group which is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

35 a C₃₋₇-cycloalkyl group which is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

5

a C₃₋₇-cycloalkyl-C₁₋₂-alkyl group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

10

a C₃₋₇-cycloalkyl-C₁₋₂-alkyl group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

15

a C₃₋₇-cycloalkylamino group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group, while the two nitrogen atoms on the cycloalkyl moiety are separated from one another by at least two carbon atoms,

20

an N-(C₃₋₇-cycloalkyl)-N-(C₁₋₃-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group, while the two nitrogen atoms on the cycloalkyl moiety are separated from one another by at least two carbon atoms,

25

a C₃₋₇-cycloalkylamino group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

30

an N-(C₃₋₇-cycloalkyl)-N-(C₁₋₃-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

35

a C₃₋₇-cycloalkyl-C₁₋₂-alkyl-amino group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

an N-(C₃₋₇-cycloalkyl-C₁₋₂-alkyl)-N-(C₁₋₂-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

5

a C₃₋₇-cycloalkyl-C₁₋₂-alkyl-amino group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

10 an N-(C₃₋₇-cycloalkyl-C₁₋₂-alkyl)-N-(C₁₋₂-alkyl)-amino group wherein the cycloalkyl moiety is substituted by an amino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkyl or a di-(C₁₋₃-alkyl)amino-C₁₋₃-alkyl group,

a R¹⁹-C₂₋₄-alkylamino group wherein R¹⁹ is separated from the nitrogen atom of the
15 C₂₋₄-alkylamino moiety by at least two carbon atoms and

R¹⁹ denotes an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)-amino group,

an R¹⁹-C₂₋₄-alkylamino group wherein the nitrogen atom of the C₂₋₄-alkylamino
20 moiety is substituted by a C₁₋₃-alkyl group and R¹⁹ is separated from the nitrogen atom of the C₂₋₄-alkylamino moiety by at least two carbon atoms, while R¹⁹ is as hereinbefore defined in this claim,

an amino group substituted by the group R²⁰ wherein

25

R²⁰ denotes an azetidin-3-yl, azetidin-2-ylmethyl, azetidin-3-ylmethyl, pyrrolidin-3-yl, pyrrolidin-2-ylmethyl, pyrrolidin-3-ylmethyl, piperidin-3-yl, piperidin-4-yl, piperidin-2-ylmethyl, piperidin-3-ylmethyl or piperidin-4-ylmethyl group, while the groups mentioned for R²⁰ may in each case be
30 substituted by one or two C₁₋₃-alkyl groups,

30

an amino group substituted by the group R²⁰ and a C₁₋₃-alkyl group wherein R²⁰ is as hereinbefore defined in this claim, while the groups mentioned for R²⁰ may in each case be substituted by one or two C₁₋₃-alkyl groups,

35

5 an R¹⁹-C₃₋₄-alkyl group wherein the C₃₋₄-alkyl moiety is straight-chain and may additionally be substituted by one or two C₁₋₃-alkyl groups, while R¹⁹ is as hereinbefore defined in this claim,

10 a 3-amino-2-oxo-piperidin-5-yl or 3-amino-2-oxo-1-methyl-piperidin-5-yl group,

a pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, hexahydroazepin-3-yl or hexahydroazepin-4-yl group which is substituted in the 1 position by an amino, C₁₋₃-alkylamino or di-(C₁₋₃-alkyl)amino group,

15 or an azetidin-2-yl-C₁₋₂-alkyl, azetidin-3-yl-C₁₋₂-alkyl, pyrrolidin-2-yl-C₁₋₂-alkyl, pyrrolidin-3-yl, pyrrolidin-3-yl-C₁₋₂-alkyl, piperidin-2-yl-C₁₋₂-alkyl, piperidin-3-yl, piperidin-3-yl-C₁₋₂-alkyl, piperidin-4-yl or piperidin-4-yl-C₁₋₂-alkyl group, while the above-mentioned groups may in each case be substituted by one or two C₁₋₃-alkyl groups,

20

while by the aryl groups mentioned in the definition of the above groups are meant phenyl or naphthyl groups, which may be mono- or disubstituted by R_h independently of one another, where the substituents are identical or different and R_h denotes a fluorine, chlorine, bromine or iodine atom, a trifluoromethyl, cyano, 25 nitro, amino, aminocarbonyl, aminosulphonyl, methylsulphonyl, acetylamino, methylsulphonylamino, C₁₋₄-alkyl, C₁₋₃-alkyl-carbonyl, cyclopropyl, ethenyl, ethynyl, hydroxy, C₁₋₄-alkyloxy, C₁₋₄-alkoxy-carbonyl, methylsulphinyl, phenylsulphinyl, methylsulphonyl, phenylsulphonyl, difluoromethoxy or trifluoromethoxy group,

30 by the heteroaryl groups mentioned in the definitions of the above-mentioned groups are meant a pyrrolyl, furanyl, thienyl, pyridyl, indolyl, benzofuranyl, benzothiophenyl, quinolinyll or isoquinolinyll group,

or a pyrrolyl, furanyl, thienyl or pyridyl group wherein one or two methyne groups 35 are replaced by nitrogen atoms,

5

or an indolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl group wherein one to three methyne groups are replaced by nitrogen atoms,

or a 1,2-dihydro-2-oxo-pyridinyl, 1,4-dihydro-4-oxo-pyridinyl, 2,3-dihydro-3-oxo-pyridazinyl, 1,2,3,6-tetrahydro-3,6-dioxo-pyridazinyl, 1,2-dihydro-2-oxo-pyrimidinyl, 10 3,4-dihydro-4-oxo-pyrimidinyl, 1,2,3,4-tetrahydro-2,4-dioxo-pyrimidinyl, 1,2-dihydro-2-oxo-pyrazinyl, 1,2,3,4-tetrahydro-2,3-dioxo-pyrazinyl, 2,3-dihydro-2-oxo-indolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydro-2-oxo-1*H*-benzimidazolyl, 2,3-dihydro-2-oxo-benzoxazolyl, 1,2-dihydro-2-oxo-quinolinyl, 1,4-dihydro-4-oxo-quinolinyl, 1,2-dihydro-1-oxo-isoquinolinyl, 1,4-dihydro-4-oxo-cinnolinyl, 1,2-dihydro-2-oxo-quinazolinyl, 3,4-dihydro-4-oxo-quinazolinyl, 1,2,3,4-tetrahydro-2,4-dioxo-quinazolinyl, 1,2-dihydro-2-oxoquinoxalinyl, 1,2,3,4-tetrahydro-2,3-dioxo-quinoxalinyl, 1,2-dihydro-1-oxo-phthalazinyl, 1,2,3,4-tetrahydro-1,4-dioxo-phthalazinyl, chromanyl, cumaranyl, 2,3-dihydro-benzo[1,4]dioxinyl or 3,4-dihydro-20 3-oxo-2*H*-benzo[1,4]oxazinyl group,

and the above-mentioned heteroaryl groups may be mono- or disubstituted by R_n, while the substituents may be identical or different and R_n is as hereinbefore defined in this claim,

25

and, unless otherwise stated, the above-mentioned alkyl, alkenyl and alkynyl groups may be straight-chain or branched,

the tautomers, the enantiomers, the diastereomers, the mixtures thereof, the 30 prodrugs thereof and the salts thereof.

2. The compound of general formula I according to claim 1, wherein

35 R¹, R² and R³ are defined as in claim 1 and

5

R^4 denotes a pyrrolidin-1-yl group which is substituted in the 3 position by an amino group,

a piperidin-1-yl group which is substituted in the 3 position by an amino group,

10

a hexahydroazepin-1-yl- group which is substituted in the 3 position or in the 4 position by an amino group,

a (2-aminocyclohexyl)amino group,

15

a cyclohexyl group which is substituted in the 3 position by an amino group, or

an *N*-(2-aminoethyl)-*N*-methyamino or an *N*-(2-aminoethyl)-*N*-ethylamino group,

20

while, unless otherwise mentioned, the above-mentioned alkyl, alkenyl and alkynyl groups may be straight-chain or branched,

the tautomers, the enantiomers, the diastereomers, the mixtures thereof and the salts thereof.

25

3. The compound of general formula I according to claim 2, wherein

R^1 denotes an A-B-D group wherein

30

A denotes a phenyl, phenylmethyl, 1-phenylethyl, pyridinyl, pyridinylmethyl, 1-pyridinylethyl, pyrimidinyl, pyrimidinylmethyl, pyrazinyl, pyrazinylmethyl, 1,3,5-triazinyl, 1,3,5-triazinylmethyl, 1,2,4-triazinyl, 1,2,4-triazinylmethyl, furanyl, thienyl, pyrrolyl, imidazolyl, 1,3-oxazolyl group, while the above-mentioned phenyl and heteroaryl groups may be substituted by a fluorine,

35

chlorine or bromine atom or by a C_{1-4} -alkyl, C_{1-4} -alkoxy, trifluoromethyl,

5 cyano, C₁₋₃-alkyl-carbonyl, C₁₋₄-alkoxy-carbonyl, methylsulphinyl, phenylsulphinyl, methylsulphonyl, phenylsulphonyl, amino or nitro group and may optionally additionally be substituted by a fluorine, chlorine or bromine atom or by a C₁₋₄-alkyl, C₁₋₄-alkoxy, trifluoromethyl or cyano group, while the substituents may be identical or different, and

10

B denotes an E-G group wherein E is linked to the group A and

15

E denotes an oxygen atom, an -NH-, -N(CH₃)- or -NH-NH- group or a -OCH₂- group wherein the oxygen atom is linked to the group A and the carbon atom is linked to the group G, and

G denotes a carbonyl group,

a cyanoiminomethylene or nitroiminomethylene group

20

or a 1,1-ethenylene group wherein the carbon atom in the exo position may be substituted by one or two trifluoromethyl, cyano, nitro, C₁₋₃-alkyloxy-carbonyl, C₁₋₄-alkyl-carbonyl, phenylcarbonyl, C₁₋₃-alkylsulphinyl, phenylsulphinyl, C₁₋₃-alkylsulphonyl or phenylsulphonyl groups, while the substituents may be identical or different and the above-mentioned phenyl groups may be substituted by one or two fluorine, chlorine or bromine atoms or one or two C₁₋₃-alkyl, trifluoromethyl, C₁₋₃-alkoxy, cyano, C₁₋₃-alkyl-carbonyl, C₁₋₃-alkoxy-carbonyl, methylsulphinyl, phenylsulphinyl, methylsulphonyl, phenylsulphonyl or nitro groups, while these substituents may also be identical or different,

25

or A and B together denote a 1,2,3,4-tetrahydroquinolin-1-ylcarbonyl or 1,2,3,4-tetrahydroisoquinolin-2-ylcarbonyl group and

35

5 D denotes a methylene group,

R^2 denotes a hydrogen atom,

or a C_{1-3} -alkyl group,

10

R^3 denotes a C_{4-6} -alkenyl group,

a 2-butyne-1-yl group or

15 a 1-cyclopenten-1-yl-methyl group

and

20 R^4 denotes a piperidin-1-yl group which is substituted in the 3 position by an amino group,

a hexahydroazepin-1-yl- group which is substituted in the 3 position or in the 4 position by an amino group,

25 a (2-aminocyclohexyl)amino group,

a cyclohexyl group which is substituted in the 3 position by an amino group, or

an *N*-(2-aminoethyl)-*N*-methylamino or an *N*-(2-aminoethyl)-*N*-ethylamino group,

30

while, unless otherwise mentioned, the above-mentioned alkyl, alkenyl and alkynyl groups may be straight-chain or branched,

the tautomers, the enantiomers, the diastereomers, the mixtures thereof and the salts thereof.

35

5

4. The compound of general formula I according to claim 3, wherein

10 R^1 denotes an A-B-D group wherein

A denotes a phenyl, phenylmethyl, 1-phenylethyl, pyridinyl, pyridinylmethyl,
1-pyridinylethyl, pyrimidinyl or pyrimidinylmethyl group, where the phenyl
moiety may be substituted by a fluorine, chlorine or bromine atom or by a
15 C_{1-4} -alkyl, trifluoromethyl, C_{1-4} -alkoxy, cyano, C_{1-3} -alkyl-carbonyl,
 C_{1-4} -alkoxy-carbonyl, methylsulphinyl, phenylsulphinyl, methylsulphonyl,
phenylsulphonyl, amino or nitro group and may optionally additionally be
substituted by a fluorine, chlorine or bromine atom or by a C_{1-4} -alkyl,
trifluoromethyl, C_{1-4} -alkoxy or cyano group, while the substituents may be
20 identical or different, and

B denotes a E-G group wherein E is linked to the group A and

E denotes an oxygen atom, an $-NH-$ group, $-N(CH_3)-$ group or $-OCH_2-$
25 group wherein the oxygen atom is linked to the group A and the carbon
atom is linked to the group G, and

G denotes a carbonyl group,

30 or A and B together denote a 1,2,3,4-tetrahydroquinolin-1-ylcarbonyl or
1,2,3,4-tetrahydroisoquinolin-2-ylcarbonyl group and

D denotes a methylene group,

35 R^2 denotes a methyl group,

5

R^3 denotes a 2-buten-1-yl or 3-methyl-2-buten-1-yl group or

a 2-butyn-1-yl group

10 and

R^4 denotes a (3-amino-piperidin-1-yl) group,

the tautomers, the enantiomers, the diastereomers, the mixtures thereof and the
15 salts thereof.

5. The compound of general formula I according to claim 4, wherein

20 R^1 denotes an A-B-D group wherein

A denotes a phenyl, phenylmethyl, pyridinyl or pyridinylmethyl group wherein
the phenyl rings may be substituted by an amino, methoxy, methyl, cyano or
nitro group, and

25

B denotes an E-G group wherein E is linked to the group A and

E denotes an oxygen atom, an -NH- group or -OCH₂- group wherein the
oxygen atom is linked to the group A and the carbon atom is linked to the
30 group G, and

G denotes a carbonyl group,

or A and B together denote a 1,2,3,4-tetrahydroquinolin-1-ylcarbonyl or
35 1,2,3,4-tetrahydroisoquinolin-2-ylcarbonyl group and

5

D denotes a methylene group,

R^2 denotes a methyl group,

10 R^3 denotes a 2-buten-1-yl or 3-methyl-2-buten-1-yl group or

a 2-butyn-1-yl group

and

15

R^4 denotes a (3-amino-piperidin-1-yl) group,

the tautomers, the enantiomers, the diastereomers, the mixtures thereof and the salts thereof.

20

6. The following compounds of general formula I according to claim 1:

25 (a) 1-[(benzyloxycarbonyl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

(b) 1-[(benzylaminocarbonyl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

30 (c) 1-[(phenylaminocarbonyl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

(d) 1-[(pyridin-2-yl)aminocarbonyl]methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

5 (e) 1-[[[(pyridin-3-yl)methoxycarbonyl]methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

(f) 1-[[[(pyridin-3-yl)aminocarbonyl]methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

10

(g) 1-[[[(2-methyl-phenyl)aminocarbonyl]methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

(h) 1-[[[(2-nitro-phenyl)aminocarbonyl]methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

15

(i) 1-[[[(4-cyano-phenyl)aminocarbonyl]methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

20 (j) 1-[[[(2-methoxy-phenyl)aminocarbonyl]methyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

(k) 1-(2-oxo-3-phenoxy-propyl)-3-methyl-7-(2-butyn-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

25

(l) 1-[[[(2-amino-benzylaminocarbonyl)methyl]-3-methyl-7-(2-butyn-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(m) 1-[2-(3,4-dihydro-1*H*-isoquinolin-2-yl)-2-oxo-ethyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

30

(n) 1-[2-(3,4-dihydro-2*H*-quinolin-1-yl)-2-oxo-ethyl]-3-methyl-7-(2-butyn-1-yl)-8-[(*R*)-3-amino-piperidin-1-yl]-xanthine,

5 (o) 1-[[[3-cyano-phenyl)aminocarbonyl)methyl]-3-methyl-7-(2-butyne-1-yl)-8-(3-amino-piperidin-1-yl)-xanthine,

(p) 1-[(3-methoxy-benzyloxycarbonyl)methyl]-3-methyl-7-(2-butyne-1-yl)-8-[(R)-3-amino-piperidin-1-yl]-xanthine and

10

(q) 1-[(3-nitro-benzyloxycarbonyl)methyl]-3-methyl-7-(2-butyne-1-yl)-8-[(R)-3-amino-piperidin-1-yl]-xanthine

and the salts thereof.

15

7. A physiologically acceptable salt of the compound according to any one of claims 1 to 6 with inorganic or organic acids or bases.

20 8. A pharmaceutical composition containing the compound according to any one of claims 1 to 6 optionally together with one or more inert carriers and/or diluents.

9. A pharmaceutical composition containing the physiologically acceptable salt according to claim 7 optionally together with one or more inert carriers and/or
25 diluents.

10. A method for treating a disease or syndrome in a patient comprising administering to the patient a pharmaceutical composition comprising, the compound or physiologically acceptable salt according to any one of claims 1 to 6
30 wherein the disease or syndrome is selected from the group consisting of: type I and type II diabetes mellitus, arthritis, obesity, allograft transplantation and osteoporosis caused by calcitonin.

5 11. A method for treating a disease or syndrome in a patient comprising
administering to the patient a pharmaceutical composition comprising, the
physiologically acceptable salt according to claim 7 wherein the disease or
syndrome is selected from the group consisting of: type I and type II diabetes
mellitus, arthritis, obesity, allograft transplantation and osteoporosis caused by
10 calcitonin.

12. A process for preparing a pharmaceutical composition wherein the compound
according to any one of claims 1 to 6 is incorporated in one or more inert carriers
and/or diluents by a non-chemical method.

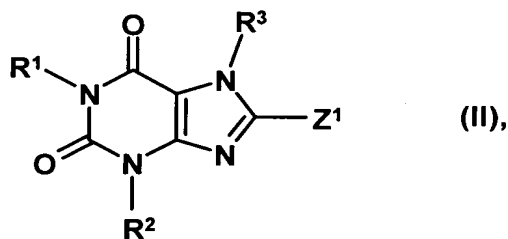
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13. A process for preparing a pharmaceutical composition wherein the
physiologically acceptable salt according to claim 7 is incorporated in one or more
inert carriers and/or diluents by a non-chemical method.

20 14. A process for preparing the compound of general formula I according to one of
claims 1 to 6, wherein:

a) in order to prepare compounds of general formula I wherein R^4 is one of the
groups mentioned in claim 1, linked to the xanthine structure via a nitrogen
25 atom,

a compound of general formula



30

5 wherein

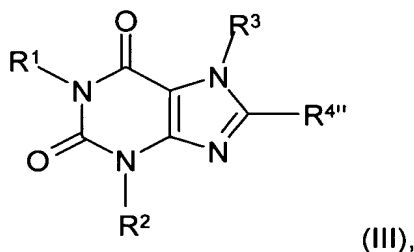
R^1 to R^3 are defined as in claim 1 and

Z^1 denotes a leaving group such as a halogen atom, a substituted hydroxy, mercapto, sulphinyl, sulphonyl or sulphonyloxy group,

10 is reacted with an amine of general formula $R^{4'}-H$, wherein $R^{4'}$ denotes one of the groups mentioned for R^4 in claim 1 which is linked to the xanthine structure via a nitrogen atom, or

b) a compound of general formula

15



wherein R^1 , R^2 and R^3 are defined as in claim 1 and

20 $R^{4'}$ denotes one of the groups mentioned for R^4 hereinbefore which contain an imino, amino or alkylamino group, while the imino, amino or alkylamino group is substituted by a protective group, is deprotected and then is optionally alkylated at the imino, amino or C_{1-3} -alkylamino group, and/or

25 then any protective groups used during the reaction are cleaved and/or

the compounds of general formula I thus obtained are resolved into their enantiomers and/or diastereomers and/or

the compounds of formula I obtained are converted into their salts, particularly for

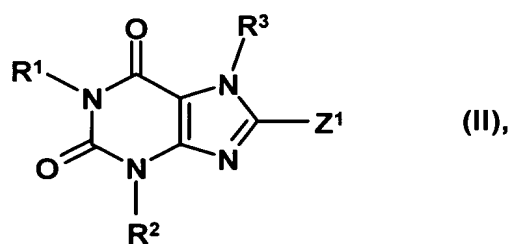
30 pharmaceutical use into the physiologically acceptable salts thereof with inorganic or organic acids or bases.

5

15. A process for preparing the physiologically acceptable salt according to claim 7, wherein:

- 10 a) in order to prepare compounds of general formula I wherein R^4 is one of the groups mentioned in claim 1, linked to the xanthine structure via a nitrogen atom,

a compound of general formula



15

wherein

R^1 to R^3 are defined as in claim 1 and

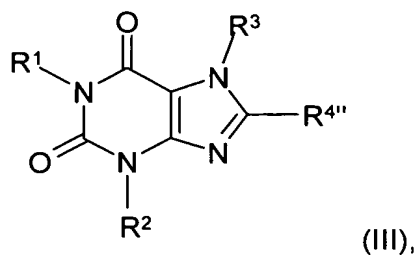
- 20 Z^1 denotes a leaving group such as a halogen atom, a substituted hydroxy, mercapto, sulphinyl, sulphonyl or sulphonyloxy group,

is reacted with an amine of general formula $R^{4'}-H$, wherein $R^{4'}$ denotes one of the groups mentioned for R^4 in claim 1 which is linked to the xanthine structure via a nitrogen atom, or

25

30

- 5 b) a compound of general formula



- wherein R^1 , R^2 and R^3 are defined as in claim 1 and
- 10 $R^{4'}$ denotes one of the groups mentioned for R^4 hereinbefore which contain an imino, amino or alkylamino group, while the imino, amino or alkylamino group is substituted by a protective group, is deprotected and then is optionally alkylated at the imino, amino or C_{1-3} -alkylamino group, and/or
- 15 then any protective groups used during the reaction are cleaved and/or
- the compounds of general formula I thus obtained are resolved into their enantiomers and/or diastereomers and/or
- 20 the compounds of formula I obtained are converted into their salts, particularly for pharmaceutical use into the physiologically acceptable salts thereof with inorganic or organic acids or bases.

25